

02/09/200509/02/2005

09022619.trn

md bat-EL

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\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	4	OCT 28	KOREAPAT now available on STN
NEWS	5	NOV 30	PHAR reloaded with additional data
NEWS	6	DEC 01	LISA now available on STN
NEWS	7	DEC 09	12 databases to be removed from STN on December 31, 2004
NEWS	8	DEC 15	MEDLINE update schedule for December 2004
NEWS	9	DEC 17	ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	10	DEC 17	COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	11	DEC 17	SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	12	DEC 17	CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	13	DEC 17	THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS	14	DEC 30	EPFULL: New patent full text database to be available on STN
NEWS	15	DEC 30	CAPLUS - PATENT COVERAGE EXPANDED
NEWS	16	JAN 03	No connect-hour charges in EPFULL during January and February 2005
NEWS	17	JAN 26	CA/CAPLUS - Expanded patent coverage to include the Russian Agency for Patents and Trademarks (ROSPATENT)
NEWS EXPRESS			JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information
NEWS LOGIN			Welcome Banner and News Items
NEWS PHONE			Direct Dial and Telecommunication Network Access to STN
NEWS WWW			CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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02/09/200509/02/2005

09622619.trn

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 11:45:19 ON 09 FEB 2005

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Switching to the Registry File...

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=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 11:45:31 ON 09 FEB 2005

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 FEB 2005 HIGHEST RN 827299-31-0

DICTIONARY FILE UPDATES: 7 FEB 2005 HIGHEST RN 827299-31-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

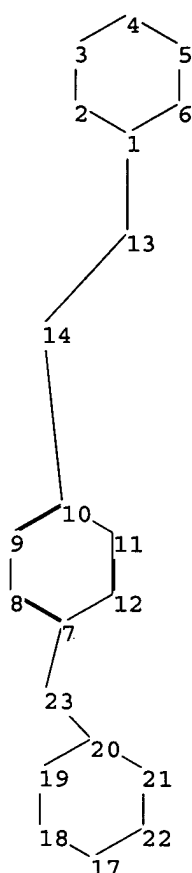
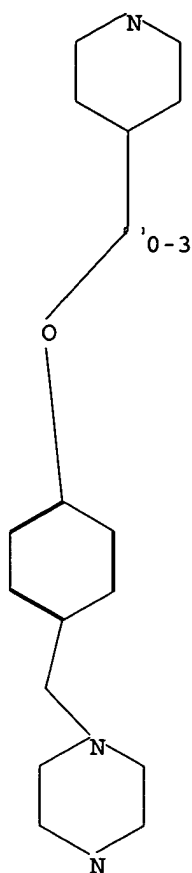
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\09922619.str



chain nodes :

13 14 23

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 17 18 19 20 21 22

chain bonds :

1-13 7-23 10-14 13-14 20-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 17-18 17-22  
18-19 19-20 20-21 21-22

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 10-14 13-14 17-18 17-22 18-19 19-20 20-21  
20-23 21-22

exact bonds :

1-13 7-23

normalized bonds :

7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 : 17 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:CLASS 14:CLASS 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom  
22:Atom 23:CLASS

L1        STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1                STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -    AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 11:45:46 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -        39 TO ITERATE

100.0% PROCESSED        39 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*

BATCH        \*\*COMPLETE\*\*

PROJECTED ITERATIONS:        406 TO        1154

PROJECTED ANSWERS:            1 TO        80

L2                1 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 11:45:53 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -        938 TO ITERATE

100.0% PROCESSED        938 ITERATIONS

SEARCH TIME: ~~00.00.01~~

50 ANSWERS

L3                50 SEA SSS FUL L1

=> ~~FILE CAPLUS~~

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
161.33	161.54

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 11:45:59 ON 09 FEB 2005

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FILE COVERS 1907 - 9 Feb 2005    VOL 142 ISS 7

FILE LAST UPDATED: 8 Feb 2005    (20050208/ED)

This file contains CAS Registry Numbers for easy and accurate

substance identification.

=&gt; s l3

L4

10 L3

=&gt; s l3 and py&lt;=2000

10 L3

20649375 PY&lt;=2000

L5

2 L3 AND PY&lt;=2000

=&gt; d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1127364 CAPLUS

DOCUMENT NUMBER: 142:74463

TITLE: A preparation of piperidinecarboxylates, useful as inhibitors of hormone sensitive lipase

INVENTOR(S): Ebdrup, Soren; Vedso, Per; Jacobsen, Poul; Nielsen, Flemming Elmelund; Cornelis De Jong, Johannes

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 285 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004111032	A1	<del>200411223</del>	WO 2004-DK398	20040610
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:

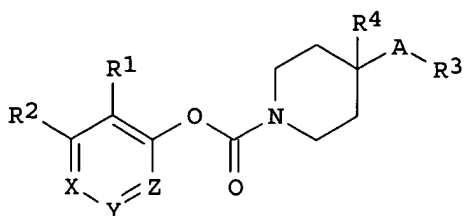
DK 2003-880

A 20030612

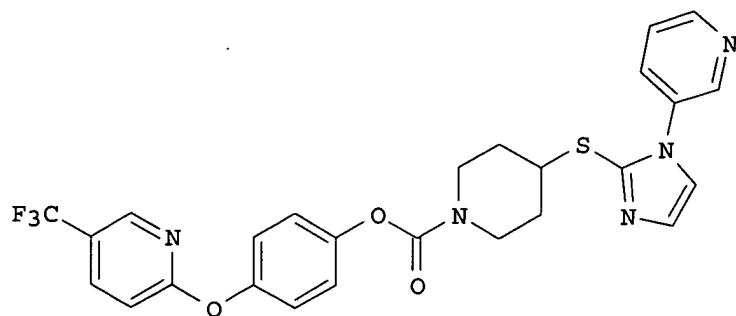
US 2003-478561P

P 20030613

GI



I



II

AB The invention relates to a preparation of novel 1-piperidinecarboxylates of formula I [wherein: R1 and R2 are independently selected from H, OH, NH<sub>2</sub>, alkenyl, alkyl, or (hetero)aryl, etc.; X, Y and Z are independently selected from N or C-R1; A is O, S, S(O), SO<sub>2</sub>, or CH<sub>2</sub>O, etc.; R3 is (hetero)aryl; R4 is H or F], useful in the treatment and/or prevention of diseases and disorders related to hormone sensitive lipase (HSL). For instance, 4-[(pyridinylimidazolyl)sulfanyl]piperidine-1-carboxylic acid ester II (5% HSL inhibitory activity at 10 μM) was prepared via thiolation of 4-(5-trifluoromethylpyridin-2-yloxy)phenyl 4-hydroxypiperidine-1-carboxylate by 1-(pyridin-3-yl)-1H-imidazole-2-thiol with a yield of 72% (example 3).

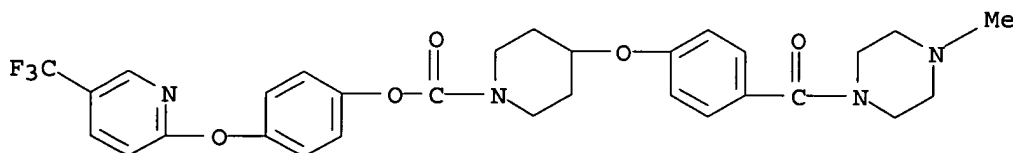
IT **811811-90-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidinecarboxylates useful as inhibitors of hormone sensitive lipase)

RN 811811-90-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[(4-methyl-1-piperazinyl)carbonyl]phenoxy]-, 4-[[5-(trifluoromethyl)-2-pyridinyl]oxy]phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

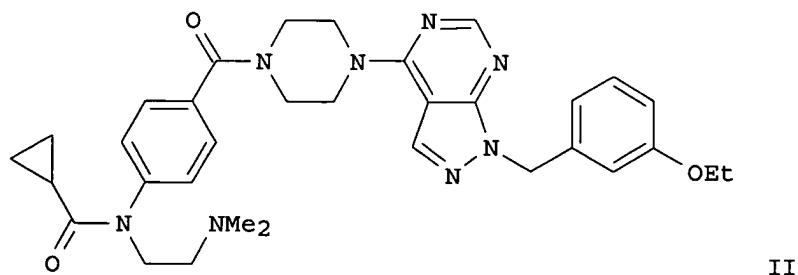
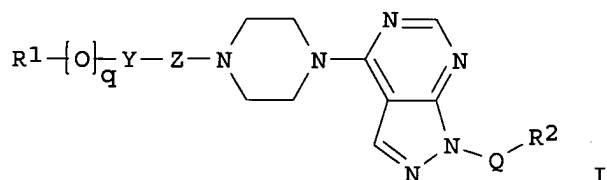
ACCESSION NUMBER: 2004:633436 CAPLUS  
 DOCUMENT NUMBER: 141:174191  
 TITLE: Preparation of pyrazolopyrimidines as a small  
 conductance potassium channel (SK channel) blocking  
 agents  
 INVENTOR(S): Takamuro, Iwao; Sekine, Yasuo; Tsuboi, Yasunori; Nogi,  
 Kouji; Taniguchi, Hiroyuki  
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 306 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004064721	A2	<del>20040805</del>	WO 2004-JP617	20040123
WO 2004064721	A3	20040923		

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG,  
 BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR,  
 CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,  
 ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN,  
 IS, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR,  
 LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ,  
 NA, NI, NI, NO

PRIORITY APPLN. INFO.: JP 2003-16770 A 20030124  
 JP 2003-205341 A 20030801  
 JP 2003-385399 A 20031114

OTHER SOURCE(S): MARPAT 141:174191  
 GI



AB The title compds. [I; R1 = substituted aryl, (un)substituted  
 nitrogen-containing aliphatic heteromonocyclyl, substituted cycloalkyl,

(un)substituted amino, or substituted heteroaryl; R2 = (un)substituted (hetero)aryl; Y = a single bond, alkylene or alkenylene; Z = CO, CH2, SO2, C:N(CN); Q = alkylene; q = 0-1] and their pharmaceutically acceptable salts, which have a small conductance potassium channel (SK channel) blocking activity, were prepared. Thus, treating Et 4-{N-(cyclopropylcarbonyl)-N-[2-(dimethylamino)ethyl]amino}benzoate (preparation given) with 2N NaOH solution followed by treatment with 2N HCl, and the reaction of the resulting acid with 1-(3-ethoxybenzyl)-4-(piperazin-1-yl)-1H-pyrazol[3,4-d]pyrimidine dihydrochloride afforded 84% II which showed an excellent apamin-binding inhibitory activity (IC50 of 0.05 µM). The pharmaceutical composition comprising the compound I is claimed.

IT 733774-64-6P 733774-67-9P 733774-80-6P

733776-62-0P

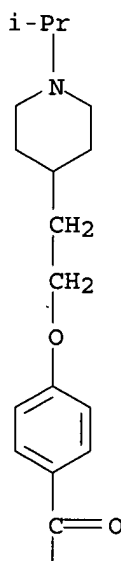
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as a small conductance potassium channel (SK channel) blocking agents)

RN 733774-64-6 CAPLUS

CN Piperazine, 1-[1-[(3-ethoxyphenyl)methyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]-4-[4-[2-[1-(1-methylethyl)-4-piperidinyl]ethoxy]benzoyl]-, hydrochloride (9CI) (CA INDEX NAME)

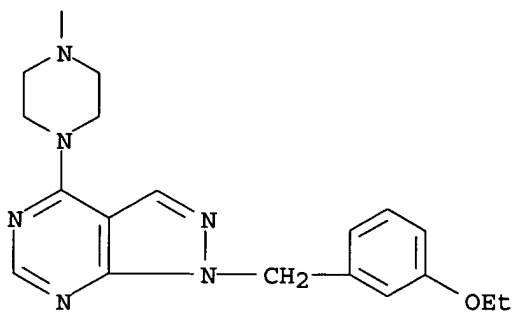
PAGE 1-A







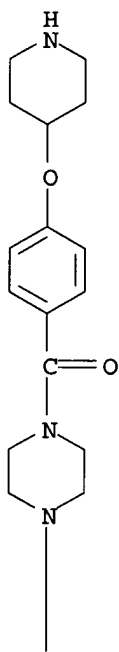
PAGE 2-A



●x HCl

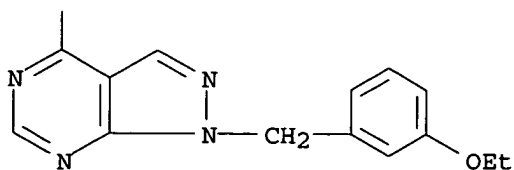
RN 733774-80-6 CAPLUS  
CN Piperazine, 1-[1-[(3-ethoxyphenyl)methyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]-4-[4-(4-piperidinylloxy)benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A





PAGE 2-A



●x HCl

IT 733782-44-0P

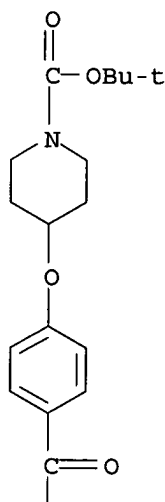
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolopyrimidines as a small conductance potassium channel (SK channel) blocking agents)

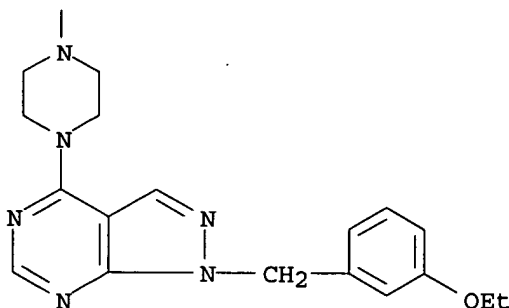
RN 733782-44-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[[4-[1-[(3-ethoxyphenyl)methyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]-1-piperazinyl]carbonyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:467872 CAPLUS

DOCUMENT NUMBER: 141:38848

TITLE: Preparation of piperazinedione derivatives for use in treating obesity

INVENTOR(S): Conde-Frieboes, Kilian Waldemar; Ankersen, Michael; Sensfuss, Ulrich; Wulff, Birgitte Schjellerup; Thogersen, Henning; Lustenberger, Philipp; Rudolf, Klaus; Krist, Bernd; Mueller, Stephan; Stenkamp, Dirk; Schindler, Marcus; Wieland, Heike; Arndt, Kirsten

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Boehringer Ingelheim International G.m.b.H.

SOURCE: PCT Int. Appl., 196 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048345	A2	<del>20040610</del>	WO 2003-DK797	20031120
WO 2004048345	A3	20040715		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

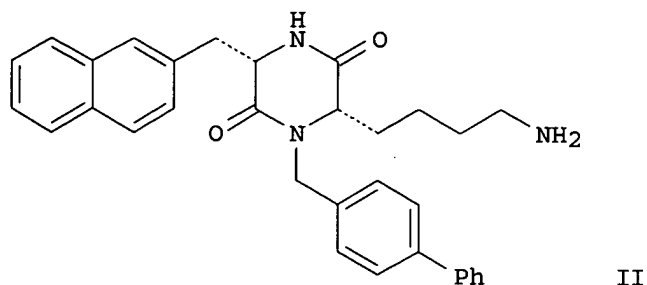
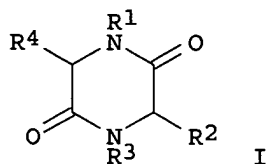
PRIORITY APPLN. INFO.:

DK 2002-1806

A 20021122

OTHER SOURCE(S): MARPAT 141:38848

GI



AB The invention relates to piperazinediones I [R1 = H or alk(en)(yn)yl; R2 = -(CH2)1-5-A, where A is an amino or guanidinyll group; R3 is -(CH2)0-2-E, where E is (un)substituted cycloalkyl, heterocyclyl, aryl or heteroaryl; R4 = -(CH2)0-2(CHG1)0-2-G2, where G1 is (un)substituted alkyl, alkoxy, cycloalkyl, cycloalkoxy, aryl or heteroaryl and G2 is cycloalkyl, heterocyclyl, aryl or heteroaryl] as well as any optical or geometric isomer or tautomer forms or pharmaceutically-acceptable salts for use as agonists of melanocortin receptors in the treatment of obesity. Thus, compound II was prepared and assayed for effect on food intake in rats (results shown graphically).

IT 702689-37-0P

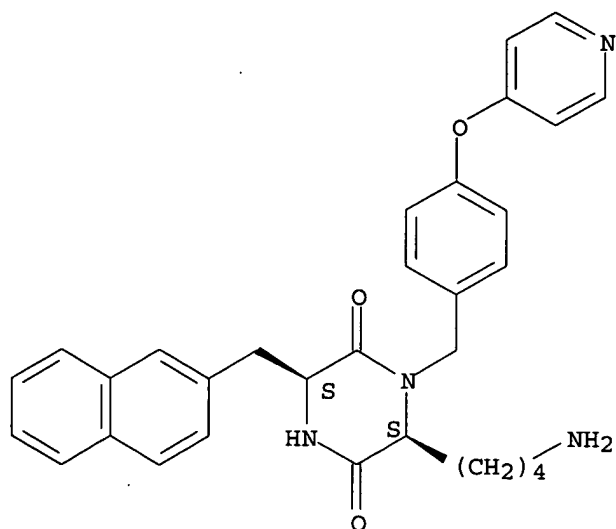
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazinedione derivs. for treating obesity)

RN 702689-37-0 CAPLUS

CN 2,5-Piperazinedione, 6-(4-aminobutyl)-3-(2-naphthalenylmethyl)-1-[[4-(4-pyridinyloxy)phenyl]methyl]-, (3S,6S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



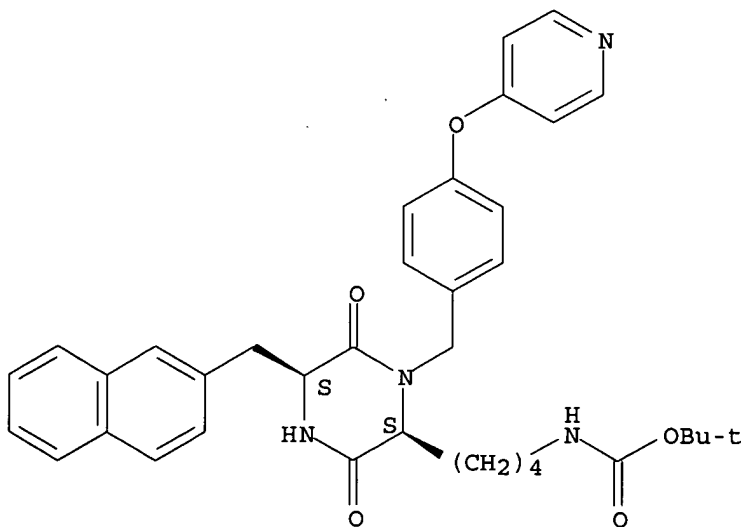
IT 702691-69-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of piperazinedione derivs. for treating obesity)

RN 702691-69-8 CAPLUS

CN Carbamic acid, [4-[(2S,5S)-5-(2-naphthalenylmethyl)-3,6-dioxo-1-[[4-(4-pyridinyloxy)phenyl]methyl]-2-piperazinyl]butyl]-, 1,1-dimethylethyl ester  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:370915 CAPLUS

DOCUMENT NUMBER: 140:391296

TITLE: Preparation of aryloxyalkylamine derivatives as H3  
receptor ligands

INVENTOR(S): Best, Desmond John; Bruton, Gordon; Heightman, Thomas  
 Daniel; Orlek, Barry Sidney  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 63 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037800	A1	<del>20040506</del>	WO 2003-EP11649	20031020
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			GB 2002-24558	A 20021022
			GB 2002-24677	A 20021023
			GB 2002-24678	A 20021023
			GB 2002-24679	A 20021023
			GB 2002-24783	A 20021024
			GB 2003-3467	A 20030214

OTHER SOURCE(S): MARPAT 140:391296  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title novel benzyloxy compds. [I; R1 = II (wherein R4a = alkyl, oxo, (hetero)aryl, heterocyclyl; R5a = halo, OH, CN, etc.; m = 1-2; p = 0-3; when p = 2, said R4a groups may instead form a bridging group consisting of 1-2 methylene groups), substituted SO<sub>2</sub>NH<sub>2</sub>, III (R4b = alkyl, OH, aryl, heterocyclyl; r = 0-2), etc.; R2 = halo, alkyl, alkoxy, CN, NH<sub>2</sub>, CF<sub>3</sub>; n = 0-2; R3 = (CH<sub>2</sub>)<sub>q</sub>NR<sub>11</sub>R<sub>12</sub>, IV (q = 2-4; R<sub>11</sub>, R<sub>12</sub> = alkyl; NR<sub>11</sub>R<sub>12</sub> = heterocyclyl; R<sub>13</sub> = alkyl, cycloalkyl, alkylcycloalkyl; R<sub>14</sub> = halo, alkyl, haloalkyl, OH, dialkylamino, alkoxy; f, k = 0-2; g = 0-2; h = 0-3 (g and h cannot both be 0))], useful in the treatment of neurol. and psychiatric disorders, were prepared Thus, reacting 4-[3-(piperidin-1-yl)propoxy]benzoic acid hydrochloride with 4-phenylpiperazine afforded V which exhibited pK<sub>b</sub> of >8.5 in the histamine H<sub>3</sub> functional antagonist assay. The pharmaceutical composition comprising the compound I is claimed.

IT 685872-49-5P 685872-50-8P 685872-51-9P  
 685872-52-0P 685872-53-1P 685872-54-2P  
 685872-55-3P 685872-56-4P 685872-57-5P  
 685872-58-6P 685872-59-7P 685872-60-0P  
 685872-61-1P 685872-62-2P 685872-63-3P  
 685872-64-4P 685872-65-5P 685872-66-6P  
 685872-67-7P 685872-68-8P 685872-69-9P  
 685872-70-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

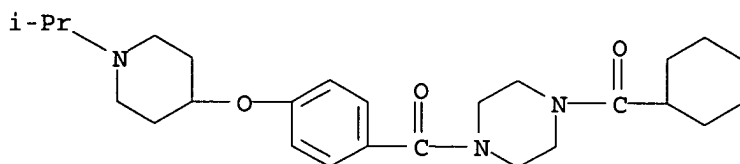


## (Uses)

(preparation of aryloxyalkylamine derivs. as H3 receptor ligands)

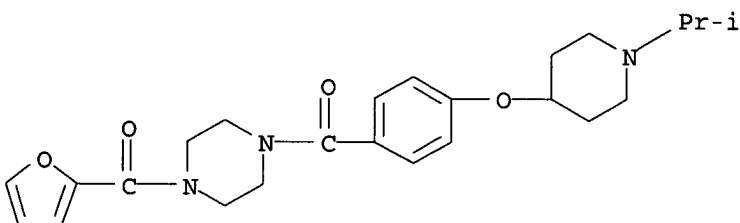
RN 685872-49-5 CAPLUS

CN Piperazine, 1-(cyclohexylcarbonyl)-4-[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]benzoyl]- (9CI) (CA INDEX NAME)



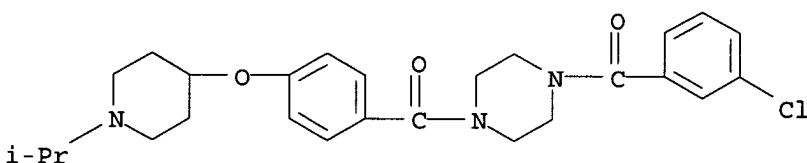
RN 685872-50-8 CAPLUS

CN Piperazine, 1-(2-furanylcarbonyl)-4-[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]benzoyl]- (9CI) (CA INDEX NAME)



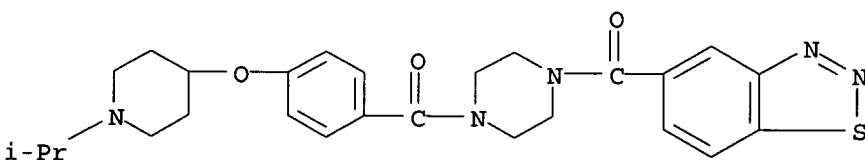
RN 685872-51-9 CAPLUS

CN Piperazine, 1-(3-chlorobenzoyl)-4-[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]benzoyl]- (9CI) (CA INDEX NAME)



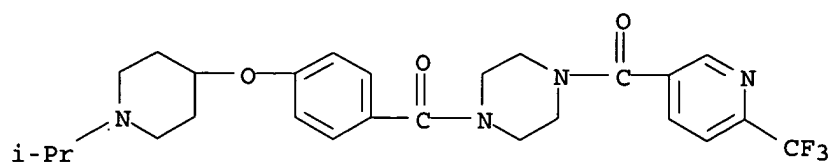
RN 685872-52-0 CAPLUS

CN Piperazine, 1-(1,2,3-benzothiadiazol-5-ylcarbonyl)-4-[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]benzoyl]- (9CI) (CA INDEX NAME)



RN 685872-53-1 CAPLUS

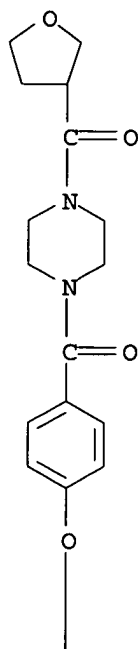
CN Piperazine, 1-[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]benzoyl]-4-[[6-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



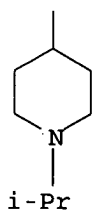
RN 685872-54-2 CAPLUS

CN Piperazine, 1-[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]benzoyl]-4-  
[(tetrahydro-3-furanyl)carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

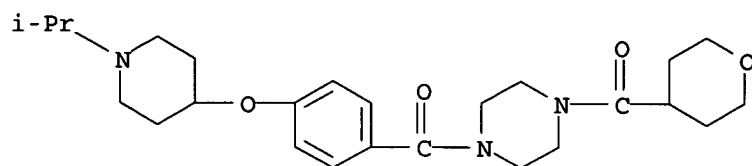


PAGE 2-A



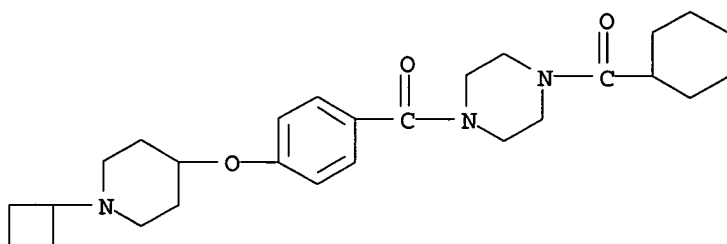
RN 685872-55-3 CAPLUS

CN Piperazine, 1-[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]benzoyl]-4-  
[(tetrahydro-2H-pyran-4-yl)carbonyl]- (9CI) (CA INDEX NAME)



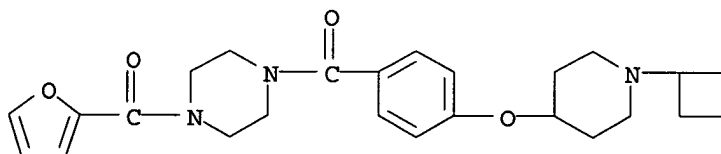
RN 685872-56-4 CAPLUS

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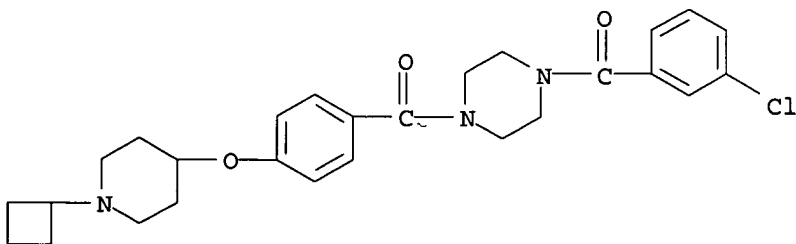
RN 685872-57-5 CAPLUS

CN Piperazine, 1-[4-[(1-cyclobutyl-4-piperidinyloxy)benzoyl]-4-(2-furanylcarbonyl)- (9CI) (CA INDEX NAME)



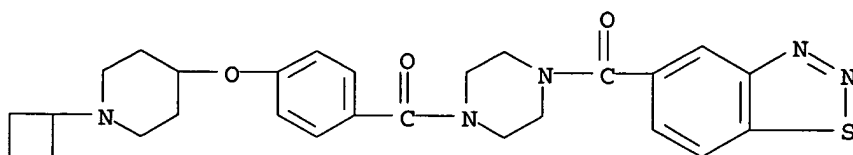
RN 685872-58-6 CAPLUS

CN Piperazine, 1-(3-chlorobenzoyl)-4-[4-[(1-cyclobutyl-4-piperidinyloxy)benzoyl]- (9CI) (CA INDEX NAME)



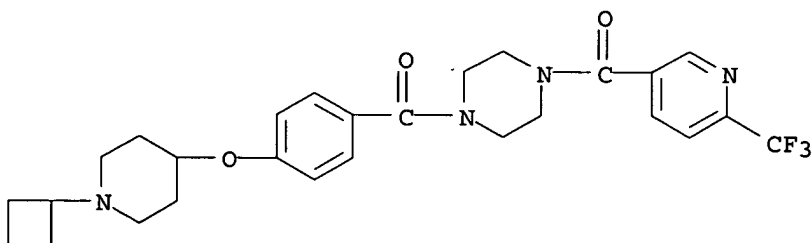
RN 685872-59-7 CAPLUS

CN Piperazine, 1-(1,2,3-benzothiadiazol-5-ylcarbonyl)-4-[4-[(1-cyclobutyl-4-piperidinyloxy)benzoyl]- (9CI) (CA INDEX NAME)



RN 685872-60-0 CAPLUS

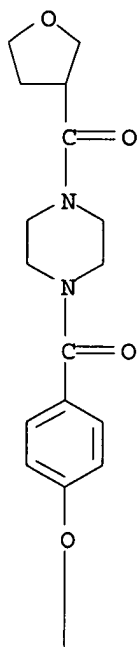
CN Piperazine, 1-[4-[(1-cyclobutyl-4-piperidinyl)oxy]benzoyl]-4-[[6-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

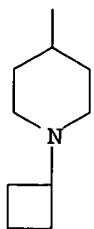


RN 685872-61-1 CAPLUS

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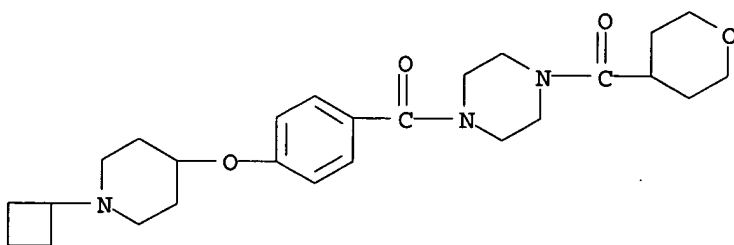
PAGE 1-A





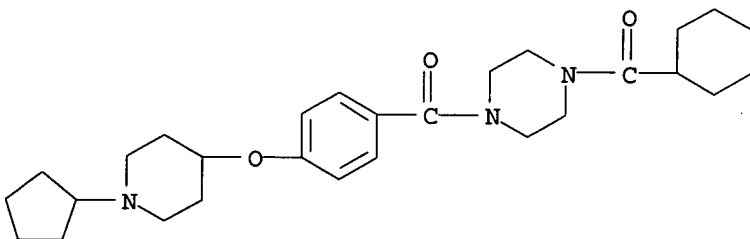
RN 685872-62-2 CAPLUS

CN Piperazine, 1-[4-[(1-cyclobutyl-4-piperidinyl)oxy]benzoyl]-4-[(tetrahydro-2H-pyran-4-yl)carbonyl]- (9CI) (CA INDEX NAME)



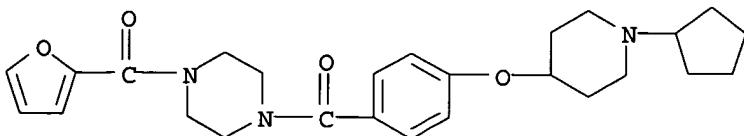
RN 685872-63-3 CAPLUS

CN Piperazine, 1-(cyclohexylcarbonyl)-4-[4-[(1-cyclopentyl-4-piperidinyl)oxy]benzoyl]- (9CI) (CA INDEX NAME)



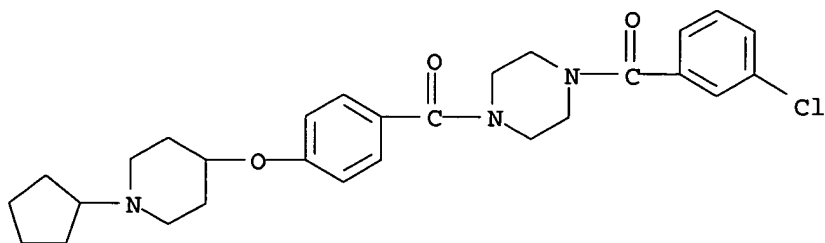
RN 685872-64-4 CAPLUS

CN Piperazine, 1-[4-[(1-cyclopentyl-4-piperidinyl)oxy]benzoyl]-4-(2-furanylcarbonyl)- (9CI) (CA INDEX NAME)



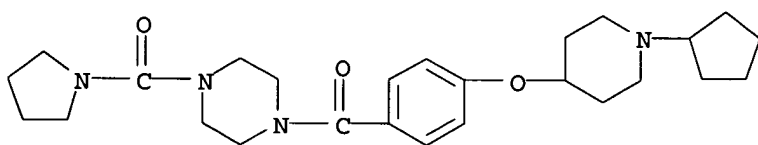
RN 685872-65-5 CAPLUS

CN Piperazine, 1-(3-chlorobenzoyl)-4-[4-[(1-cyclopentyl-4-piperidinyl)oxy]benzoyl]- (9CI) (CA INDEX NAME)



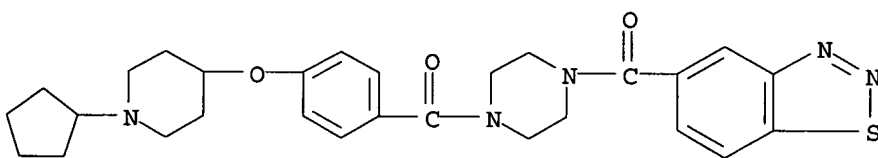
RN 685872-66-6 CAPLUS

CN Piperazine, 1-[4-[(1-cyclopentyl-4-piperidinyl)oxy]benzoyl]-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



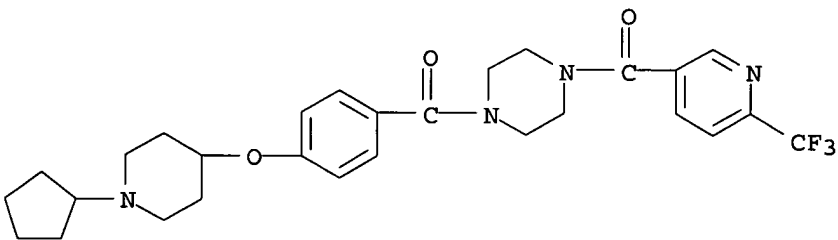
RN 685872-67-7 CAPLUS

CN Piperazine, 1-(1,2,3-benzothiadiazol-5-ylcarbonyl)-4-[4-[(1-cyclopentyl-4-piperidinyl)oxy]benzoyl]- (9CI) (CA INDEX NAME)



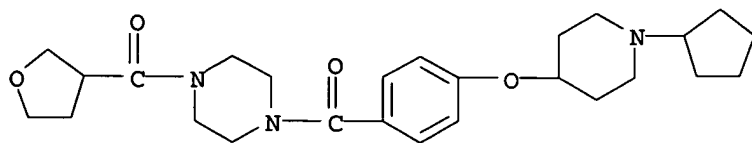
RN 685872-68-8 CAPLUS

CN Piperazine, 1-[4-[(1-cyclopentyl-4-piperidinyl)oxy]benzoyl]-4-[[6-(trifluoromethyl)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



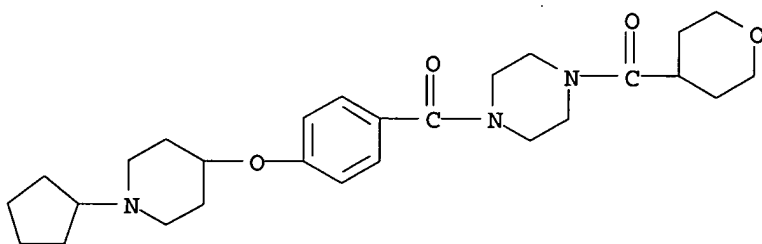
RN 685872-69-9 CAPLUS

CN Piperazine, 1-[4-[(1-cyclopentyl-4-piperidinyl)oxy]benzoyl]-4-[(tetrahydro-3-furanyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 685872-70-2 CAPLUS

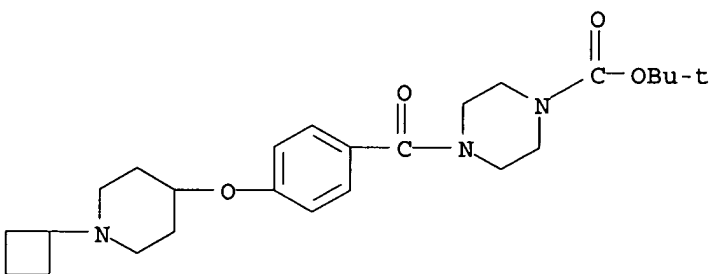
CN Piperazine, 1-[4-[(1-cyclopentyl-4-piperidinyl)oxy]benzoyl]-4-[(tetrahydro-2H-pyran-4-yl)carbonyl]- (9CI) (CA INDEX NAME)



IT 685873-10-3P, 1-[4-[(1-Cyclobutyl-4-piperidinyl)oxy]benzoyl]-4-(tert-butoxycarbonyl)piperazine 685873-11-4P,  
 1-[4-[(1-Cyclobutyl-4-piperidinyl)oxy]benzoyl]piperazine dihydrochloride  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of aryloxyalkylamine derivs. as H3 receptor ligands)

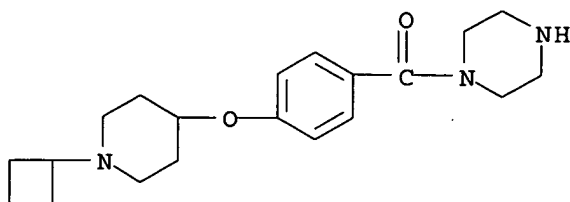
RN 685873-10-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[(1-cyclobutyl-4-piperidinyl)oxy]benzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 685873-11-4 CAPLUS

CN Piperazine, 1-[4-[(1-cyclobutyl-4-piperidinyl)oxy]benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)

 $\bullet_2 \text{HCl}$ 

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:354923 CAPLUS

DOCUMENT NUMBER: 140:375196

TITLE: Preparation of substituted piperazines,  
[1,4]diazepines, and 2,5-diazabicyclo[2.2.1]heptanes  
as histamine H1 and/or H3 antagonists or histamine H3  
reverse antagonists

INVENTOR(S): Ancliff, Rachael; Eldred, Colin David; Fogden, Yvonne C.; Hancock, Ashley Paul; Heightman, Thomas Daniel; Hobbs, Heather; Hodgson, Simon Teanby; Lindon, Matthew J.; Wilson, David Matthew

PATENT ASSIGNEE(S) : Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 140 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

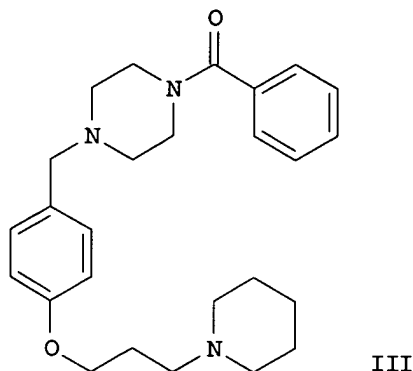
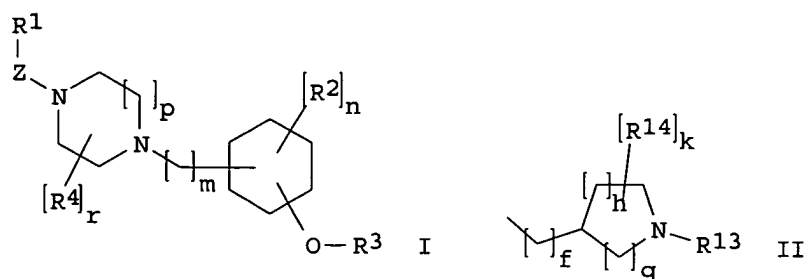
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035556	A1	<del>20040429</del>	WO 2003-EP11423	20031014
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LG, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: GB 2002-24084 A 20021016

OTHER SOURCE(S) :                    MARPAT 140:375196

GI





AB The title compds. [I; R<sub>1</sub> = H, alkyl, alkoxy, etc.; Z = a bond, CO, (un)substituted CONH, SO<sub>2</sub>; p = 1-2; m, n, r = 0-2; R<sub>2</sub> = halo, alkyl, alkoxy, etc.; R<sub>3</sub> = (CH<sub>2</sub>)<sub>q</sub>NR<sub>11</sub>R<sub>12</sub>, II (wherein q = 2-4; R<sub>11</sub>, R<sub>12</sub> = alkyl, cycloalkyl; NR<sub>11</sub>R<sub>12</sub> = heterocyclyl; R<sub>13</sub> = H, alkyl, cycloalkyl, etc.; R<sub>14</sub> = halo, alkyl, haloalkyl, etc.; f, k = 0-2; g = 0-2; h = 0-3, such that g and h cannot both be 0); R<sub>4</sub> = H, alkyl such that when r = 2, two R<sub>4</sub> groups may instead be linked to form CH<sub>2</sub>, (CH<sub>2</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>3</sub>; with the provisos], useful in the treatment of neurodegenerative disorders including Alzheimer's disease, and inflammatory diseases of the upper respiratory tract, were prepared Thus, reacting 1-[4-(3-piperidin-1-ylpropoxy)benzyl]piperazine.3HCl (preparation given) with benzoic acid afforded 77% III which was tested in the histamine H<sub>3</sub> functional antagonist assay and showed pK<sub>B</sub> of > 6.5. The pharmaceutical composition comprising the compound

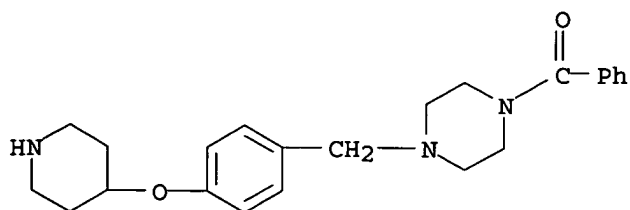
I is claimed.

IT 684243-56-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of substituted piperazines, [1,4]diazepines, and 2,5-diazabicyclo[2.2.1]heptanes as histamine H<sub>1</sub> and/or H<sub>3</sub> antagonists or histamine H<sub>3</sub> reverse antagonists)

RN 684243-56-9 CAPLUS

CN Piperazine, 1-benzoyl-4-[[4-(4-piperidinylloxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



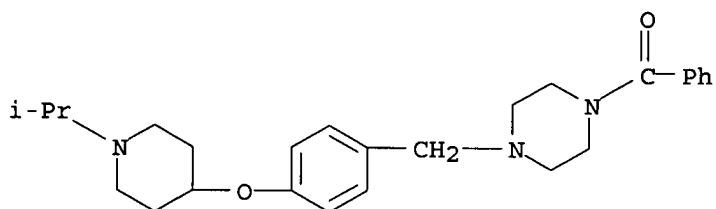
IT 684243-57-0P 684243-58-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted piperazines, [1,4]diazepines, and 2,5-diazabicyclo[2.2.1]heptanes as histamine H1 and/or H3 antagonists or histamine H3 reverse antagonists)

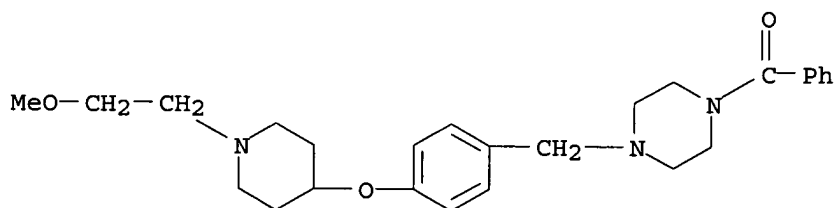
RN 684243-57-0 CAPLUS

CN Piperazine, 1-benzoyl-4-[[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 684243-58-1 CAPLUS

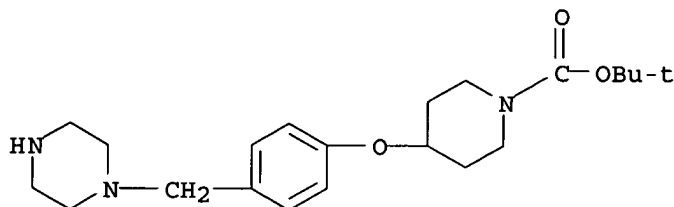
CN Piperazine, 1-benzoyl-4-[[4-[[1-(2-methoxyethyl)-4-piperidinyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



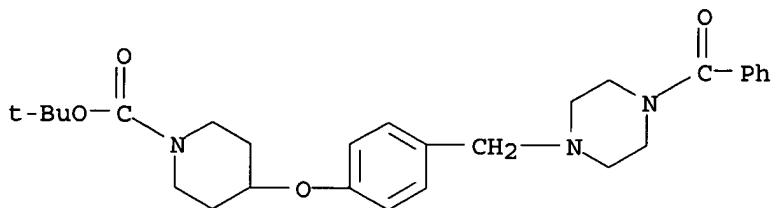
IT 684248-99-5P, 4-(4-((Piperazin-1-yl)methyl)phenoxy)piperidine-1-carboxylic acid tert-butyl ester 684249-00-1P, 4-(4-((4-(Benzoyl)piperazin-1-yl)methyl)phenoxy)piperidine-1-carboxylic acid tert-butyl ester  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of substituted piperazines, [1,4]diazepines, and 2,5-diazabicyclo[2.2.1]heptanes as histamine H1 and/or H3 antagonists or histamine H3 reverse antagonists)

RN 684248-99-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-(1-piperazinylmethyl)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 684249-00-1 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[4-[(4-benzoyl-1-piperazinyl)methyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

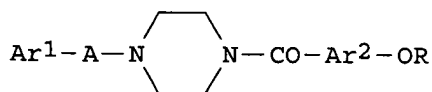


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:847770 CAPLUS  
 DOCUMENT NUMBER: 137:353063  
 TITLE: Preparation of piperazines as antidiabetic agents  
 INVENTOR(S): Maruta, Katsunori; Iwai, Kiyotaka; Yoshida, Kozo; Nagata, Tatsu  
 PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 32 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002322163	A2	20021108	JP 2001-123655	20010420
PRIORITY APPLN. INFO.:			JP 2001-123655	20010420
OTHER SOURCE(S):	MARPAT	137:353063		

GI



I

AB The compds. I (Ar1 = substituted Ph, (un)substituted monocyclic

heteroaryl, dicyclic aryl, dicyclic heteroaryl; Ar2 = (un)substituted phenylene, dicyclic arylene, monocyclic heteroarylene, dicyclic heteroarylene; A = methylene, ethylene; R = XYAr3; X = C1-3 alkylene; Y = single bond, NR1, O; R1 = H, Me, Et; Ar3 = (un)substituted Ph, monocyclic heteroaryl, dicyclic aryl, dicyclic heteroaryl) or their pharmaceutically acceptable salts are prepared 2-(5-Ethyl-2-pyridyl)ethanol was esterified with mesyl chloride in the presence of Et3N in THF at room temperature for 1 h and reacted with 4-[[4-(trifluoromethyl)benzyl]-1-piperazinyl]carbonyl]phenol in the presence of K2CO3 in DMF at 100° for 5 h to give 63% 1-[4-[2-(5-ethyl-2-pyridyl)ethoxy]benzoyl]-4-[4-(trifluoromethyl)benzyl]piperazine, which was administered in mice at 128 mg/kg/day, resulting in blood glucose level 522.3±89.4 mg/dL, while 548.8±61.6 mg/dL at 0 mg/kg/day.

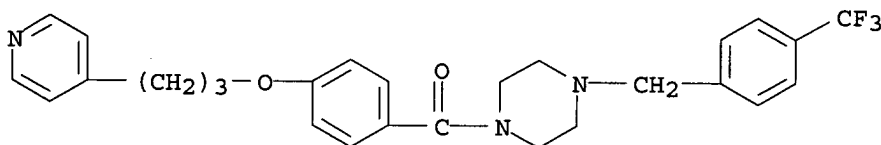
IT 474659-17-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazines as antidiabetic agents)

RN 474659-17-1 CAPLUS

CN Piperazine, 1-[4-[3-(4-pyridinyl)propoxy]benzoyl]-4-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:122957 CAPLUS

DOCUMENT NUMBER: 136:167285

TITLE: Preparation of aryloxypiperidines as histamine H3 receptor antagonists

INVENTOR(S): Apodaca, Richard; Carruthers, Nicholas I.; Dvorak, Curt A.; Shah, Chandravan R.; Xiao, Wei

PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 155 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

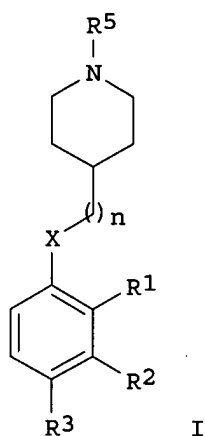
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

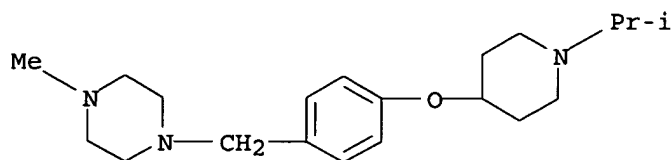
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012190	A2	20020214	WO 2001-US24660	20010806
WO 2002012190	A3	20020801		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2419036	AA	20020214	CA 2001-2419036	20010806

AU 2001081121	A5	20020218	AU 2001-81121	20010806
US 2002040024	A1	20020404	US 2001-922619	20010806
EP 1311482	A2	20030521	EP 2001-959582	20010806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013161	A	20040406	BR 2001-13161	20010806
JP 2004511438	T2	20040415	JP 2002-518168	20010806
PRIORITY APPLN. INFO.:			US 2000-223768P	P 20000808
			US 2001-922619	A 20010806
			WO 2001-US24660	W 20010806
OTHER SOURCE(S):			MARPAT 136:167285	
GI				

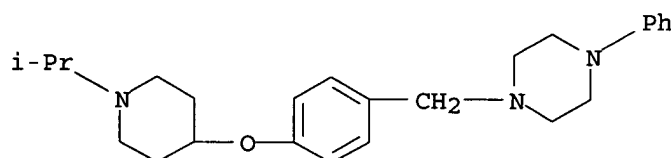


- AB Title compds. I [X = O; n = 0-3; R5 = alk(en)yl, cycloalkylalkyl, phenylalk(en)yl, alkylcarbonylalkyl; R1-3 = G, W, wherein one of the remaining two is selected from H and halo and the third being H; G = alk(en/yn)yl-N-containing heterocycle, etc.; W = CN, CHO, halo, heterocyclyl, phenoxy, Ph, etc.] were prepared For example, a suspension of 1-isopropylpiperidin-4-ol (preparation given), 4-fluorobenzaldehyde and Cs2CO3 were heated to 100° in DMF for 22 h resulting in the formation of 4-[(1-isopropylpiperidin-4-yl)oxy]benzaldehyde (II). II had Ki = 36 nM for the histamine H3 receptor. I are useful in the treatment of histamine-mediated conditions.
- IT **397276-13-0P**, 1-[4-((1-Isopropylpiperidin-4-yl)oxy)benzyl]-4-methylpiperazine **397276-37-8P**, 1-[4-((1-Isopropylpiperidin-4-yl)oxy)benzyl]-4-phenylpiperazine **397276-45-8P**, 1-Benzyl-4-[4-((1-isopropylpiperidin-4-yl)oxy)benzyl]piperazine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug; preparation of aryloxypiperidines as histamine H3 receptor antagonists)
- RN **397276-13-0** CAPLUS
- CN Piperazine, 1-methyl-4-[[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



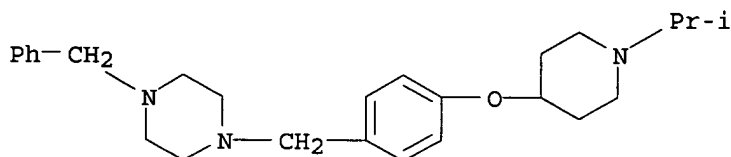
RN 397276-37-8 CAPLUS

CN Piperazine, 1-[[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]phenyl]methyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 397276-45-8 CAPLUS

CN Piperazine, 1-[[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:115118 CAPLUS

DOCUMENT NUMBER: 134:163065

TITLE: Preparation of hydroxamic acid and N-formyl hydroxylamine derivatives as antibacterial agents

INVENTOR(S): Pratt, Lisa Marie; Keavey, Kenneth Noel; Pain, Gilles Denis; Mounier, Laurent Franck

PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, UK

SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010834	A2	20010215	WO 2000-GB3078	20000810
WO 2001010834	A3	20010628		
W: AE, AU, BR, BY, CA, CN, CZ, DZ, EE, GB, GE, HU, ID, IL, IN, IS, JP, KE, KR, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, US, VN, ZA, ZW				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2379061	AA	20010215	CA 2000-2379061	20000810

EP 1202968	A2	20020508	EP 2000-949820	20000810
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY				
BR 2000013112	A	20020611	BR 2000-13112	20000810
TR 200200360	T2	20020621	TR 2002-200200360	20000810
JP 2003506438	T2	20030218	JP 2001-515301	20000810
AU 766881	B2	20031023	AU 2000-63080	20000810
NZ 517239	A	20040924	NZ 2000-517239	20000810
ZA 2002001093	A	20030507	ZA 2002-1093	20020207
NO 2002000621	A	20020409	NO 2002-621	20020208
US 6846825	B1	20050125	US 2002-49131	20020710
PRIORITY APPLN. INFO.:			GB 1999-18869	A 19990810
			GB 1999-27093	A 19991116
			WO 2000-GB3078	W 20000810

OTHER SOURCE(S): MARPAT 134:163065

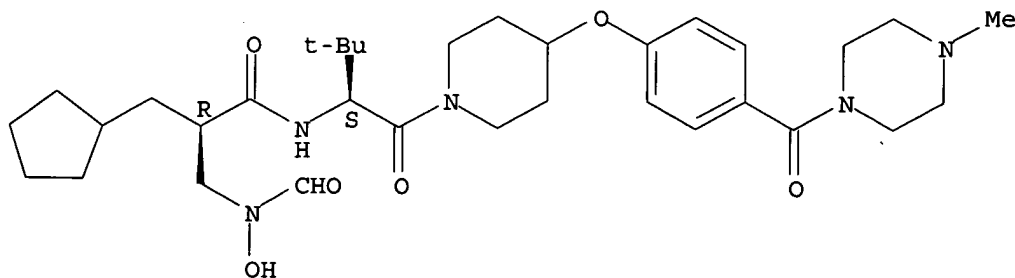
AB Selected compds. QCH(R1)CH(R2)C(O)A (I) and pharmaceutical and veterinary compns. comprising such compds. are antibacterial agents with respect to a range of Gram-pos. and Gram-neg. organisms. In I, Q = -N(OH)C(O)H or -C(O)NH(OH); R1 = H, C1-C6 alkyl or C1-C6 alkyl substituted by  $\geq$  halogen atoms, or, except when Q is -N(OH)C(O)H, hydroxy, C1-C6 alkoxy, C1-C6 alkenyloxy, amino, C1-C6 alkylamino, or di-(C1-C6 alkyl)amino; R2 = substituted or unsubstituted C1-C6 alkyl, cycloalkyl(C1-C6 alkyl)- or aryl(C1-C6 alkyl)-; and A = -NHCHR4C(O)NR5R6 or -NR5R6, wherein R4 = side chain of a natural or non-natural  $\alpha$ -amino acid, and R5 and R6 when taken together with the N atom to which they are attached form a saturated heterocyclic 1st ring of 5 to 7 atoms (piperidine and piperazine in the examples). In general, the compds. of the examples are more active against the Gram pos. *S. capitis* than the Gram neg. *E. coli*. Test results are also reported for 2R-cyclopentylmethyl-3-(formylhydroxyamino)-N-(1S-{4-[4-(4-hydroxypiperidine-1-carbonyl)phenoxy]piperidine-1-carbonyl}-2,2-dimethylpropyl)propionamide against certain respiratory tract pathogens. Although the methods of preparation are not claimed, .apprx.95 example preps. are included.

IT 325796-59-6P, 2R-Cyclopentylmethyl-N-(2,2-dimethyl-1S-{4-[4-(4-methylpiperazine-1-carbonyl)phenoxy]piperidine-1-carbonyl}propyl)-3-(formylhydroxyamino)propionamide  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of hydroxamic acid and N-formyl hydroxylamine derivs. as antibacterial agents)

RN 325796-59-6 CAPLUS

CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[4-[4-[(4-methyl-1-piperazinyl)carbonyl]phenoxy]-1-piperidinyl]carbonyl]propyl]- $\alpha$ -[(formylhydroxyamino)methyl]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:193839 CAPLUS

DOCUMENT NUMBER: 130:252377

TITLE: Preparation of di-N-substituted piperazines or 1,4  
disubstituted piperidines as muscarinic antagonists

INVENTOR(S): Lowe, Derek; Chang, Wei; Kozlowski, Joseph; Berger,  
Joel G.; Mcquade, Robert; Barnett, Allen; Sherlock,  
Margaret; Tom, Wing; Dugar, Sundeep; Chen, Lian-Yong;  
Clader, John W.; Chackalamannil, Samuel; Yuguang,  
Wang; McComb, Stuart W.; Tagat, Jayaram R.; Vice,  
Susan F.; Vaccaro, Wayne; Green, Michael J.; Browne,  
Margaret E.; Asberom, Theodros

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S., 59 pp., Cont.-in-part of U.S. Ser. No. 457,712,  
abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5883096	A	19990316	US 1996-602403	19960216
<del>CA 2212895</del>	AA	<del>19960829</del>	CA 1996-2212895	19960216
TW 464646	B	20011121	TW 1996-85101945	19960216
ES 2215190	T3	20041001	ES 1996-906286	19960216
ZA 9601293	A	19960819	ZA 1996-1293	19960219
US 5889006	A	19990330	US 1996-700628	19960808
US 6037352	A	20000314	US 1998-195742	19981119
US 6043255	A	20000328	US 1999-266079	19990310
US 6288068	B1	20010911	US 2000-482168	20000112
US 2002103205	A1	20020801	US 2001-902849	20010711
US 6498168	B2	20021224		

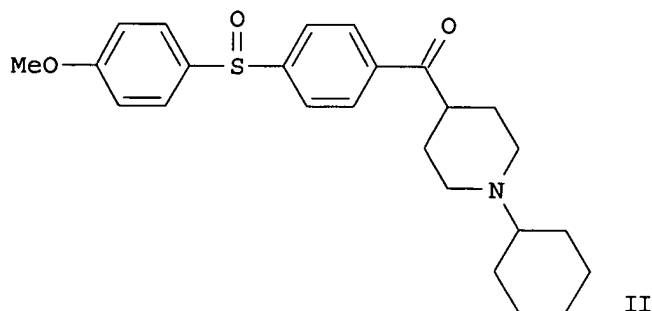
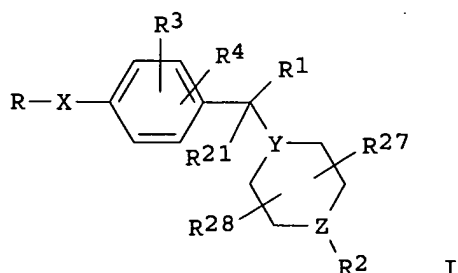
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US 1995-392697	B2	19950223
US 1995-457712	B2	19950602
US 1996-602403	A2	19960216
US 1996-700628	A3	19960808
US 1998-195742	A3	19981119
US 2000-482168	A3	20000112

OTHER SOURCE(S): MARPAT 130:252377

GI





AB Di-N-substituted piperazines or 1,4-di-substituted piperidines I [one of Y and Z is N and the other is N, CH, or C-alkyl; X = O, SO<sub>0</sub>-2, amino, substituted amino, CO, CH<sub>2</sub>, mono or disubstituted methylene, CS, CONR<sub>20</sub>, NR<sub>20</sub>SO<sub>2</sub>, NR<sub>20</sub>CO, SO<sub>2</sub>NR<sub>20</sub>, CH:CH, C.tplbond.C, NHC(O)NH; R = optionally substituted Ph, aryl, cycloalkyl; R<sub>1</sub>, R<sub>21</sub> = H, CN or optionally substituted alkyl; R<sub>2</sub> = optionally substituted cycloalkyl or piperidyl; R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>20</sub>, R<sub>27</sub>, R<sub>28</sub> are as defined in the specification], muscarinic antagonists, were prepared E.g., II was prepared

IT 182133-94-4P 182133-95-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of di-N-substituted piperazines or 1,4 disubstituted piperidines as muscarinic antagonists)

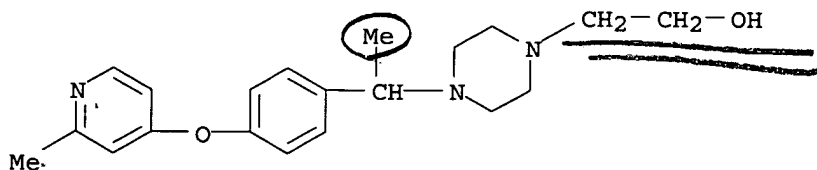
RN 182133-94-4 CAPLUS

CN 1-Piperazineethanol, 4-[1-[4-[(2-methyl-4-pyridinyl)oxy]phenyl]ethyl]-, (2Z)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 182133-93-3

CMF C20 H27 N3 O2

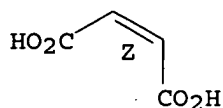


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CRN 110-16-7

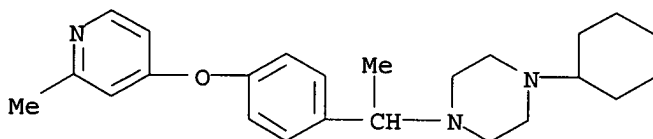
CMF C4 H4 O4

Double bond geometry as shown.



RN 182133-95-5 CAPLUS

CN Piperazine, 1-cyclohexyl-4-[1-[4-[(2-methyl-4-pyridinyl)oxy]phenyl]ethyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:623177 CAPLUS

DOCUMENT NUMBER: 125:275910

TITLE: Preparation of benzylpiperidines and -piperazines as muscarinic antagonists

INVENTOR(S): Lowe, Derek; Chang, Wei; Kozlowski, Joseph; Berger, Joel G.; McQuade, Robert; Barnett, Allen; Scherlock, Margaret; Tom, Wing; Dugar, Sundeep; et al.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl.; 152 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

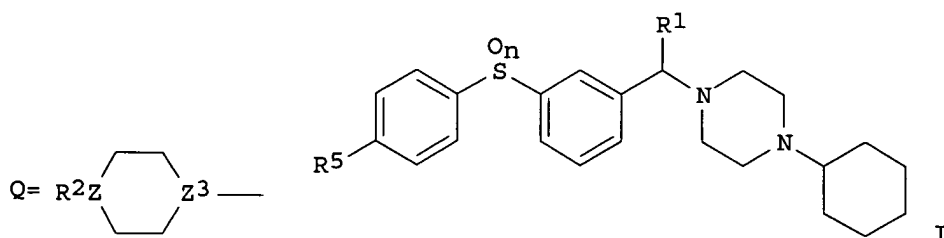
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9626196	A2	19960829	WO 1996-US1532	19960216
WO 9626196	A3	19961003		
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RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2212895	AA	19960829	CA 1996-2212895	19960216
AU 9649717	A1	19960911	AU 1996-49717	19960216
AU 701452	B2	19990128		
EP 811002	A2	19971210	EP 1996-906286	19960216
EP 811002	B1	20040121		

02/09/200509/02/2005

09622619.trn

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,  
LT, LV

JP 11501014	T2	19990126	JP 1996-525703	19960216
TW 464646	B	20011121	TW 1996-85101945	19960216
AT 258170	E	20040215	AT 1996-906286	19960216
ES 2215190	T3	20041001	ES 1996-906286	19960216
ZA 9601293	A	19960819	ZA 1996-1293	19960219
FI 9703446	A	19971022	FI 1997-3446	19970822
PRIORITY APPLN. INFO.:			US 1995-392697	A 19950223
			US 1995-457712	A 19950602
			WO 1996-US1532	W 19960216

OTHER SOURCE(S): MARPAT 125:275910  
GI

AB RZ1Z2CR1R3R4 [R = H, alkyl, acyl, CH<sub>2</sub>Ph, heterocyclyl, etc.; R<sub>1</sub>, R<sub>3</sub> = alk(en)yl, cyano, alkoxy carbonyl, Ph, heterocyclyl, etc.; R<sub>4</sub> = heterocyclyl group Q; R<sub>2</sub> = H, (cyclo)alk(en)yl, alkanoyl, heterocyclyl, etc.; 1 of Z, Z<sub>3</sub> = N and the other = N or (alkyl)methine; Z<sub>1</sub> = O, SO<sub>2</sub>-2, (alkyl)imino, CO, CH<sub>2</sub>, etc.; Z<sub>2</sub> = (un)substituted 1,4-phenylene] were prepared. Thus, 4-FC<sub>6</sub>H<sub>4</sub>COMe was sulfonated by PhSO<sub>2</sub>Na and the reduced product treated with SOCl<sub>2</sub> to give PhSO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>(CHClMe)-4 which was aminated by N-cyclohexylpiperazine to give title compound I (R<sub>1</sub> = Me, R<sub>5</sub> = H, n = 2). Sulfoxide isomer I (R<sub>1</sub> = cyano, R<sub>5</sub> = OMe, n = 1) (II) increased acetylcholine release in striatum of conscious rat from 30% (tacrine 3mg/kg i.p.) to 130% over baseline at 1mg/kg i.p. with tacrine 3mg/kg i.p.

IT 182133-94-4P 182133-95-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of benzylpiperidines and -piperazines as muscarinic antagonists)

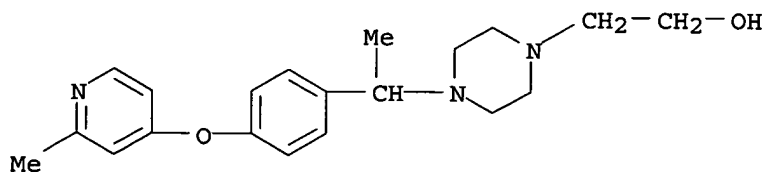
RN 182133-94-4 CAPLUS

CN 1-Piperazineethanol, 4-[1-[4-[(2-methyl-4-pyridinyl)oxy]phenyl]ethyl]-, (2Z)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 182133-93-3

CMF C20 H27 N3 O2

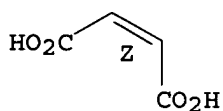


CM 2

CRN 110-16-7

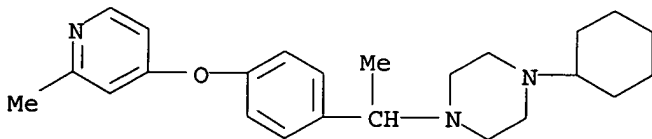
CMF C4 H4 O4

Double bond geometry as shown.



RN 182133-95-5 CAPLUS

CN Piperazine, 1-cyclohexyl-4-[1-[4-[(2-methyl-4-pyridinyl)oxy]phenyl]ethyl]-(9CI) (CA INDEX NAME)



=&gt; FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
53.09	214.63

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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FILE 'REGISTRY' ENTERED AT 11:48:35 ON 09 FEB 2005

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DICTIONARY FILE UPDATES: 7 FEB 2005 HIGHEST RN 827299-31-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when

conducting SmartSELECT searches.

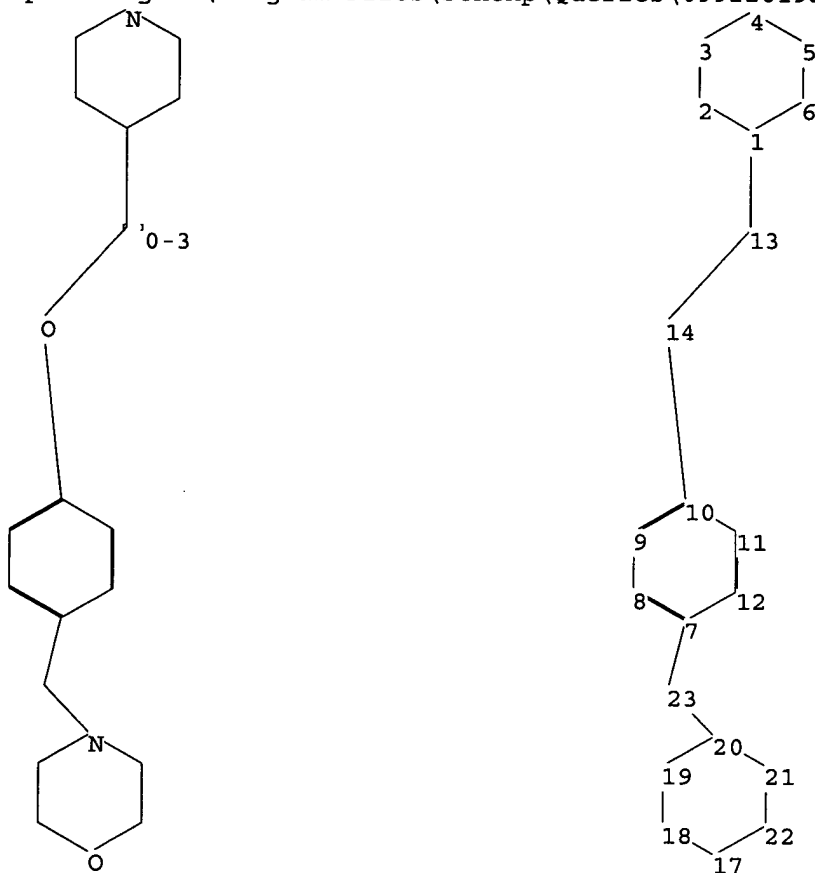
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

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Uploading C:\Program Files\Stnexp\Queries\09922619a.str



chain nodes :

13 14 23

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 17 18 19 20 21 22

chain bonds :

1-13 7-23 10-14 13-14 20-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 17-18 17-22  
18-19 19-20 20-21 21-22

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 10-14 13-14 17-18 17-22 18-19 19-20 20-21  
20-23 21-22

exact bonds :

1-13 7-23

normalized bonds :

7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

02/09/200509/02/2005

09622619.trn

containing 1 : 7 : 17 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:CLASS 14:CLASS 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom  
22:Atom 23:CLASS

L6 STRUCTURE UPLOADED

=> d l6

L6 HAS NO ANSWERS

L6 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l6

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SAMPLE SCREEN SEARCH COMPLETED - 38 TO ITERATE

100.0% PROCESSED 38 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 391 TO 1129

PROJECTED ANSWERS: 0 TO 0

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=> s l6 sss full

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FULL SCREEN SEARCH COMPLETED - 592 TO ITERATE

100.0% PROCESSED 592 ITERATIONS

SEARCH TIME: 00.00.01

13 ANSWERS

L8 13 SEA SSS FUL L6

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'2000' NOT A VALID FIELD CODE

0 PY<=2000

L9 0 L8 AND PY<=2000

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

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CA SUBSCRIBER PRICE

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FILE 'CAPLUS' ENTERED AT 11:49:32 ON 09 FEB 2005

02/09/200509/02/2005

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FILE COVERS 1907 - 9 Feb 2005 VOL 142 ISS 7  
FILE LAST UPDATED: 8 Feb 2005 (20050208/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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(FILE 'HOME' ENTERED AT 11:45:19 ON 09 FEB 2005)

FILE 'REGISTRY' ENTERED AT 11:45:31 ON 09 FEB 2005

L1 STRUCTURE UPLOADED  
L2 1 S L1  
L3 50 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:45:59 ON 09 FEB 2005

L4 10 S L3  
L5 2 S L3 AND PY<=2000

FILE 'REGISTRY' ENTERED AT 11:48:35 ON 09 FEB 2005

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L8 13 S L6 SSS FULL  
L9 0 S L8 AND PY<=2000

FILE 'CAPLUS' ENTERED AT 11:49:32 ON 09 FEB 2005

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L10 2 L8

=> d l10 ipib abs hitstr tot

L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:122957 CAPLUS

DOCUMENT NUMBER: 136:167285

TITLE: Preparation of aryloxypiperidines as histamine H3 receptor antagonists

INVENTOR(S): Apodaca, Richard; Carruthers, Nicholas I.; Dvorak, Curt A.; Shah, Chandravan R.; Xiao, Wei

PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 155 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

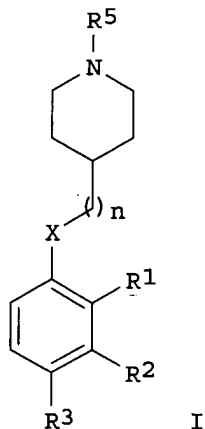
FAMILY ACC. NUM. COUNT: 3

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012190	A2	20020214	WO 2001-US24660	20010806
WO 2002012190	A3	20020801		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2419036	AA	20020214	CA 2001-2419036	20010806
AU 2001081121	A5	20020218	AU 2001-81121	20010806
US 2002040024	A1	20020404	US 2001-922619	20010806
EP 1311482	A2	20030521	EP 2001-959582	20010806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013161	A	20040406	BR 2001-13161	20010806
JP 2004511438	T2	20040415	JP 2002-518168	20010806
PRIORITY APPLN. INFO.:				
			US 2000-223768P	P 20000808
			US 2001-922619	A 20010806
			WO 2001-US24660	W 20010806

OTHER SOURCE(S): MARPAT 136:167285

GI

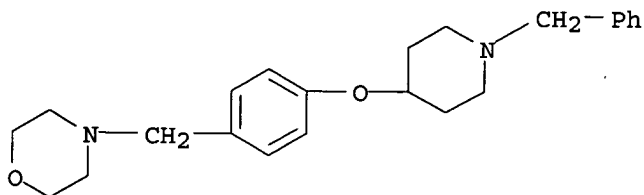


AB Title compds. I [X = O; n = 0-3; R5 = alk(en)yl, cycloalkylalkyl, phenylalk(en)yl, alkylcarbonylalkyl; R1-3 = G, W, wherein one of the remaining two is selected from H and halo and the third being H; G = alk(en/yn)yl-N-containing heterocycle, etc.; W = CN, CHO, halo, heterocyclyl, phenoxy, Ph, etc.] were prepared For example, a suspension of 1-isopropylpiperidin-4-ol (preparation given), 4-fluorobenzaldehyde and Cs2CO3 were heated to 100° in DMF for 22 h resulting in the formation of 4-[(1-isopropylpiperidin-4-yl)oxy]benzaldehyde (II). II had Ki = 36 nM for the histamine H3 receptor. I are useful in the treatment of

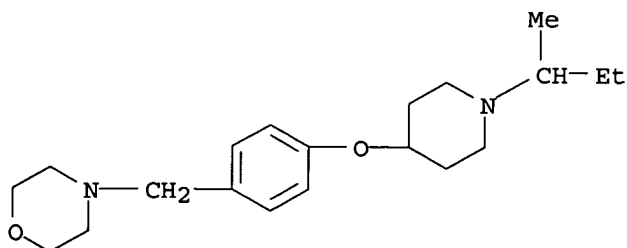


histamine-mediated conditions.

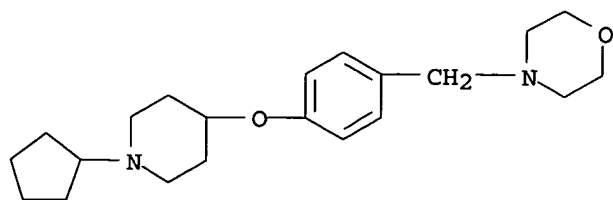
IT 397277-27-9P, 4-[4-((1-Benzylpiperidin-4-yl)oxy)benzyl]morpholine  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug; preparation of aryloxypiperidines as histamine H3 receptor antagonists)  
 RN 397277-27-9 CAPLUS  
 CN Morpholine, 4-[[4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]methyl]-(9CI) (CA INDEX NAME)



IT 397275-69-3P, 4-[4-((1-sec-Butylpiperidin-4-yl)oxy)benzyl]morpholine 397276-24-3P, 4-[4-((1-Cyclopentylpiperidin-4-yl)oxy)benzyl]morpholine 397276-53-8P, 4-[4-((1-Isopropylpiperidin-4-yl)oxy)benzyl]morpholine 397276-59-4P, 4-[4-((1-Cyclohexylpiperidin-4-yl)oxy)benzyl]morpholine 397276-63-0P, 4-[4-((1-Isobutylpiperidin-4-yl)oxy)benzyl]morpholine 397276-67-4P, 4-[4-((1-Propylpiperidin-4-yl)oxy)benzyl]morpholine 397277-16-6P, 4-(4-((Morpholin-4-yl)methyl)phenoxy)piperidine-1-carboxylic acid tert-butyl ester 397277-19-9P, 4-[4-(Piperidin-4-yloxy)benzyl]morpholine 397277-31-5P, 4-[4-(4-((Morpholin-4-yl)methyl)phenoxy)piperidin-1-yl]butan-2-one 397277-34-8P, 4-[4-((1-(Cyclohexylmethyl)piperidin-4-yl)oxy)benzyl]morpholine 397277-37-1P, 4-[4-[1-(1-Methylheptyl)piperidin-4-yloxy]benzyl]morpholine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug; preparation of aryloxypiperidines as histamine H3 receptor antagonists)  
 RN 397275-69-3 CAPLUS  
 CN Morpholine, 4-[[4-[[1-(1-methylpropyl)-4-piperidinyl]oxy]phenyl]methyl]-(9CI) (CA INDEX NAME)

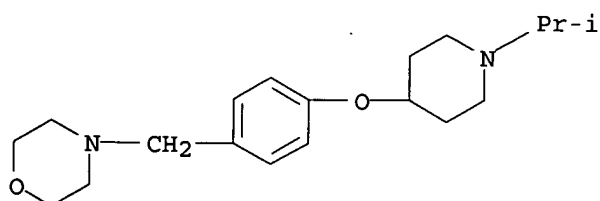


RN 397276-24-3 CAPLUS  
 CN Morpholine, 4-[[4-[[1-(cyclopentyl-4-piperidinyl)oxy]phenyl]methyl]-(9CI) (CA INDEX NAME)



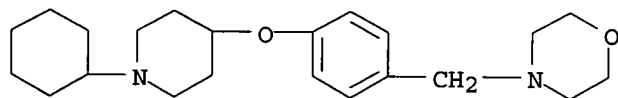
RN 397276-53-8 CAPLUS

CN Morpholine, 4-[[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]phenyl]methyl]-(9CI) (CA INDEX NAME)



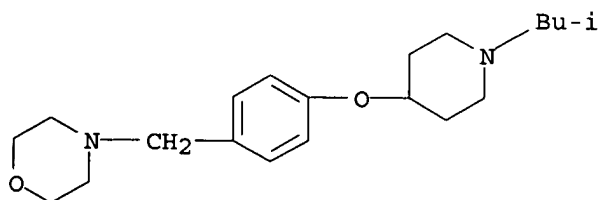
RN 397276-59-4 CAPLUS

CN Morpholine, 4-[[4-[(1-cyclohexyl-4-piperidinyl)oxy]phenyl]methyl]-(9CI) (CA INDEX NAME)



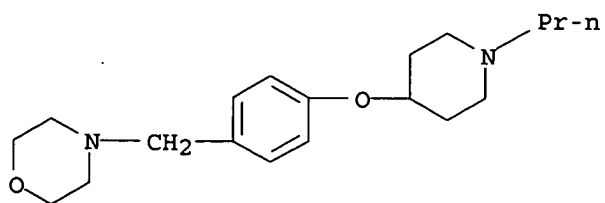
RN 397276-63-0 CAPLUS

CN Morpholine, 4-[[4-[[1-(2-methylpropyl)-4-piperidinyl]oxy]phenyl]methyl]-(9CI) (CA INDEX NAME)



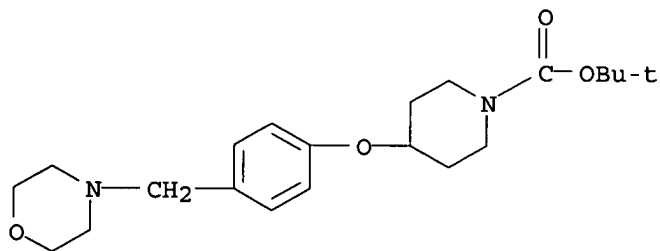
RN 397276-67-4 CAPLUS

CN Morpholine, 4-[[4-[(1-propyl-4-piperidinyl)oxy]phenyl]methyl]-(9CI) (CA INDEX NAME)



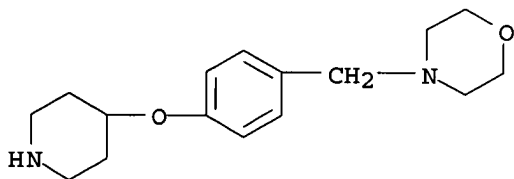
RN 397277-16-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-(4-morpholinylmethyl)phenoxy]-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



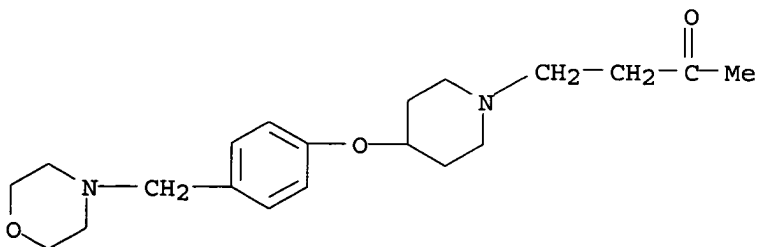
RN 397277-19-9 CAPLUS

CN Morpholine, 4-[[4-(4-piperidinyloxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



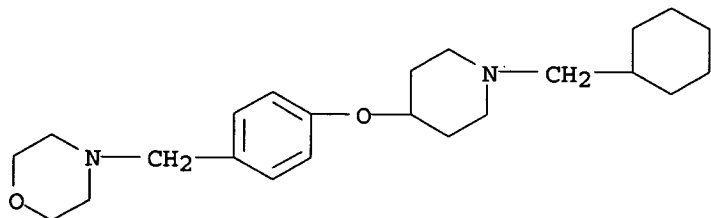
RN 397277-31-5 CAPLUS

CN 2-Butanone, 4-[4-[4-(4-morpholinylmethyl)phenoxy]-1-piperidinyl]- (9CI)  
(CA INDEX NAME)

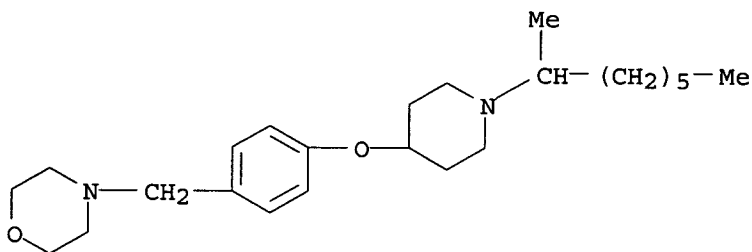


RN 397277-34-8 CAPLUS

CN Morpholine, 4-[[4-[1-(cyclohexylmethyl)-4-piperidinyl]oxy]phenyl]methyl]-  
(9CI) (CA INDEX NAME)



RN 397277-37-1 CAPLUS  
 CN Morpholine, 4-[[4-[[1-(1-methylheptyl)-4-piperidinyl]oxy]phenyl]methyl]-  
 (9CI) (CA INDEX NAME)



L10 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2001:115118 CAPLUS  
 DOCUMENT NUMBER: 134:163065  
 TITLE: Preparation of hydroxamic acid and N-formyl  
 hydroxylamine derivatives as antibacterial agents  
 INVENTOR(S): Pratt, Lisa Marie; Keavey, Kenneth Noel; Pain, Gilles  
 Denis; Mounier, Laurent Franck  
 PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, UK  
 SOURCE: PCT Int. Appl., 101 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010834	A2	20010215	WO 2000-GB3078	20000810
WO 2001010834	A3	20010628		
W: AE, AU, BR, BY, CA, CN, CZ, DZ, EE, GB, GE, HU, ID, IL, IN, IS, JP, KE, KR, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, US, VN, ZA, ZW				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2379061	AA	20010215	CA 2000-2379061	20000810
EP 1202968	A2	20020508	EP 2000-949820	20000810
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY				
BR 2000013112	A	20020611	BR 2000-13112	20000810
TR 200200360	T2	20020621	TR 2002-200200360	20000810
JP 2003506438	T2	20030218	JP 2001-515301	20000810
AU 766881	B2	20031023	AU 2000-63080	20000810
NZ 517239	A	20040924	NZ 2000-517239	20000810
ZA 2002001093	A	20030507	ZA 2002-1093	20020207

02/09/200509/02/2005

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NO 2002000621  
US 6846825  
PRIORITY APPLN. INFO.:

A 20020409  
B1 20050125

NO 2002-621 20020208  
US 2002-49131 20020710  
GB 1999-18869 A 19990810  
GB 1999-27093 A 19991116  
WO 2000-GB3078 W 20000810

OTHER SOURCE(S): MARPAT 134:163065

AB Selected compds. QCH(R1)CH(R2)C(O)A (I) and pharmaceutical and veterinary compns. comprising such compds. are antibacterial agents with respect to a range of Gram-pos. and Gram-neg. organisms. In I, Q = -N(OH)C(O)H or -C(O)NH(OH); R1 = H, C1-C6 alkyl or C1-C6 alkyl substituted by  $\geq$  halogen atoms, or, except when Q is -N(OH)C(O)H, hydroxy, C1-C6 alkoxy, C1-C6 alkenyloxy, amino, C1-C6 alkylamino, or di-(C1-C6 alkyl)amino; R2 = substituted or unsubstituted C1-C6 alkyl, cycloalkyl(C1-C6 alkyl)- or aryl(C1-C6 alkyl)-; and A = -NHCHR4C(O)NR5R6 or -NR5R6, wherein R4 = side chain of a natural or non-natural  $\alpha$ -amino acid, and R5 and R6 when taken together with the N atom to which they are attached form a saturated heterocyclic 1st ring of 5 to 7 atoms (piperidine and piperazine in the examples). In general, the compds. of the examples are more active against the Gram pos. S. capitis than the Gram neg. E. coli. Test results are also reported for 2R-cyclopentylmethyl-3-(formylhydroxyamino)-N-(1S-{4-[4-(4-hydroxypiperidine-1-carbonyl)phenoxy]piperidine-1-carbonyl}-2,2-dimethylpropyl)propionamide against certain respiratory tract pathogens. Although the methods of preparation are not claimed, .apprx.95 example preps. are included.

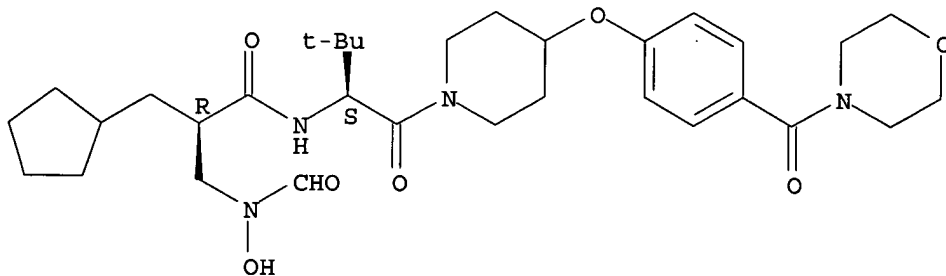
IT 325796-58-5P, 2R-Cyclopentylmethyl-N-(2,2-dimethyl-1S-{4-[4-(morpholine-4-carbonyl)phenoxy]piperidine-1-carbonyl}propyl)-3-(formylhydroxyamino)propionamide

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of hydroxamic acid and N-formyl hydroxylamine derivs. as antibacterial agents)

RN 325796-58-5 CAPLUS

CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[4-[4-(4-morpholinylcarbonyl)phenoxy]-1-piperidinyl]carbonyl]propyl]- $\alpha$ -[(formylhydroxyamino)methyl]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

ENTRY

10.78

SINCE FILE

ENTRY

TOTAL

SESSION

387.17

TOTAL

SESSION

02/09/200509/02/2005

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CA SUBSCRIBER PRICE

-1.46

-8.76

STN INTERNATIONAL LOGOFF AT 11:50:30 ON 09 FEB 2005